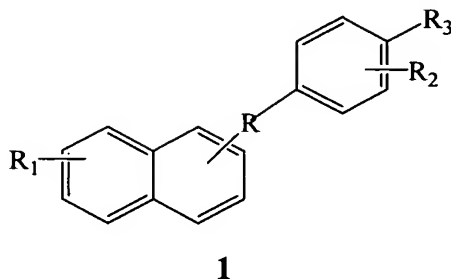


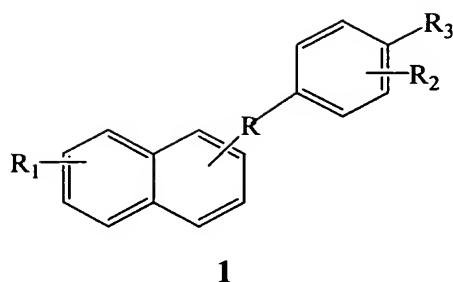
**WHAT IS CLAIMED IS:**

1. A mercaptophenyl naphthyl methane compound having the structural formula 1:



wherein R is selected from the group consisting of CO, CH<sub>2</sub> and CHOR<sub>4</sub>, wherein R<sub>4</sub> is selected from the group consisting of H and COR<sub>5</sub>, wherein R<sub>5</sub> is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl and halo substituted C<sub>1</sub>-C<sub>6</sub>-alkyl, wherein R<sub>1</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>2</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>3</sub> is substituted mercapto, and R is CO or CHOH, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>3</sub>-C<sub>7</sub> heterocyclic alkyl in which the heterocycle ring is selected from the group consisting of pyrrolidinyl, pyrrolinyl, imidazolyl, imidazolidinyl, pyrazolyl, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, pyrrol, 2H-pyrrol, triazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, morpholino, thiomorpholino, isothiazolyl, isozazolyl, oxazolyl, oxadiazolyl, thiadiazolyl and thiazolyl, optionally substituted with 1 to 3 substituents, independently selected from the group consisting of H, OH, halo, nitro, cyano, SH and SO<sub>2</sub>R<sub>7</sub>, wherein R<sub>7</sub> is selected from the group consisting of H, halo, NHR<sub>3</sub> and N(R<sub>3</sub>)<sub>2</sub>, wherein R<sub>3</sub> is as defined above, and halo is defined as Cl, Br and I.

2. A mercaptophenyl naphthyl methane compound having the structural formula 1:



wherein R is selected from the group consisting of CO, CH<sub>2</sub> and CHOR<sub>4</sub>, wherein R<sub>4</sub> is selected from the group consisting of H and COR<sub>5</sub>, wherein R<sub>5</sub> is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl and halo substituted C<sub>1</sub>-C<sub>6</sub>-alkyl, wherein R<sub>1</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>2</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>3</sub> is SR<sub>6</sub> or SO<sub>2</sub>R<sub>6</sub>, wherein R<sub>6</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, aminoalkyl, pyrrolidinoethyl, piperidinoethyl, dimethylaminoethyl, diethylaminoethyl, and R is CO or CHOH, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>3</sub>-C<sub>7</sub> heterocyclic alkyl in which the heterocycle ring is selected from the group of pyrrolidinyl, pyrrolinyl, imidazolyl, imidazolidinyl, pyrazolyl, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, pyrrol, 2H-pyrrol, triazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, morpholino, thiomorpholino, isothiazolyl, isozazolyl, oxazolyl, oxadiazolyl, thiadiazolyl and thiazolyl, optionally substituted with 1 to 3 substituents, independently selected from the group consisting of H, OH, halo, nitro, cyano, SH and SO<sub>2</sub>R<sub>7</sub>, wherein R<sub>7</sub> is selected from the group consisting of H, halo, NHR<sub>3</sub> and N(R<sub>3</sub>)<sub>2</sub>, wherein R<sub>3</sub> is as defined above, and halo is defined as Cl, Br and I.

3. A mercaptophenyl naphthyl methane compound as defined by Claim 1, wherein R is at the C-1 position of the naphthyl ring.

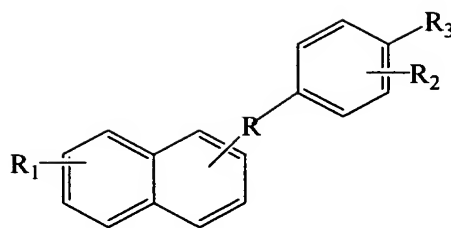
4. A mercaptophenyl naphthyl methane compound as defined by Claim 1, wherein R is at the C-2 position of the naphthyl ring.

5. A mercaptophenyl naphthyl methane compound as defined by Claim 1, comprising:

- (i) (4-Methylthiophenyl)-(naphth-1-yl)-ketone;
- (ii) (4-Methylsulfonylphenyl)-naphth-1-yl-ketone;
- (iii) (4-Ethylsulfonylphenyl)-naphth-1-yl-ketone;
- (iv) (4-Methylthiophenyl)-naphth-1-yl-carbinol;
- (v) (4-Ethylthiophenyl)-naphth-1-yl-carbinol;
- (vi) (4-Methylsulfonylphenyl)-naphth-1-yl-carbinol;
- (vii) (4-Ethylsulfonylphenyl)-naphth-1-yl-carbinol;
- (viii) 1-Piperidino-2-[(4-methylthiophenyl)-(naphth-1-yl)-methoxy] ethane;
- (ix) (4-Methylthiophenyl)-(naphth-1-yl-methanol acetate);
- (x) (4-Methylthiophenyl)-1-naphthyl methylchloroacetate;
- (xi) (4-Methylsulfonylphenyl)-naphth-2-yl-ketone;
- (xii) (4-Methylsulfonylphenyl)-naphth-2-yl-carbinol;
- (xiii) (4-Thiophenyl)-naphth-1-yl-ketone;
- (xiv) (4-Ethylthiophenyl)-naphth-1-yl-ketone;
- (xv) (4-Propylthiophenyl)-naphth-1-yl-ketone;
- (xvi) (4-Isopropylthiophenyl)-naphth-1-yl-ketone;
- (xvii) (4-Dimethylaminoethylthio-phenyl)-naphth-1-yl-ketone;
- (xviii) (4-Diethylaminoethylthio-phenyl)-naphth-1-yl-ketone;
- (xix) (4-Pyrrolidinoethylthio-phenyl)-naphth-1-yl-ketone; or
- (xx) (4-Piperidinoethylthio-phenyl)-naphth-1-yl-ketone.

6. A method for the preparation of a mercaptophenyl naphthyl methane compound having the structural formula 1, comprising the steps of:

(a) mixing  $\alpha$  or  $\beta$  naphthoic acid with thioanisol or thiophenol in polyphosphoric acid at 70- 120°C for 5-10 hrs to form a compound of formula 1,



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wherein R is selected from the group consisting of CO, CH<sub>2</sub> and CHOR<sub>4</sub>, wherein R<sub>4</sub> is selected from the group consisting of H and COR<sub>5</sub>, wherein R<sub>5</sub> is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl or halo substituted C<sub>1</sub>-C<sub>6</sub>-alkyl, wherein R<sub>1</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>2</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>3</sub> is substituted mercapto or SR<sub>6</sub> or SO<sub>2</sub>R<sub>6</sub>, wherein R<sub>6</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, aminoalkyl, pyrrolidinoethyl, piperidinoethyl, dimethylaminoethyl, diethylaminoethyl, and R is CO or CHOH, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>3</sub>-C<sub>7</sub> heterocyclic alkyl in which the heterocycle ring is selected from the group consisting of pyrrolidinyl, pyrrolinyl, imidazolyl, imidazolidinyl, pyrazolyl, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, pyrrol, 2H-pyrrol, triazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, morpholino, thiomorpholino, isothiazolyl, isozazolyl, oxazolyl, oxadiazolyl, thiadiazolyl and thiazolyl, optionally substituted with 1 to 3 substituents, independently selected from the group consisting of H, OH, halo, nitro, cyano, SH and SO<sub>2</sub>R<sub>7</sub>, wherein R<sub>7</sub> is selected from the group consisting of H, halo, NHR<sub>3</sub> and N(R<sub>3</sub>)<sub>2</sub>, wherein R<sub>3</sub> is as defined above, and halo is defined as Cl, Br and I; and

(b) converting the compound of formula 1 of step(a) into other derivatives by reacting said compound of formula 1 with compounds contributing said derivatives.

7. The method as defined by Claim 6, wherein formula 1, R is at the C-1 position of the naphthyl ring.
8. The method as defined by Claim 6, wherein formula 1, R is at the C-2 position of the naphthyl ring.
9. The method as defined by Claim 6, wherein the derivative of formula 1 in step (b) is obtained by reaction with a haloalkane in 5-15% NaOH under stirring for 9-18 hrs, wherein formula 1 R is CO, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl.
10. The method as defined by Claim 6, wherein the derivative of formula 1 in step (b) is obtained by reaction with an ω-aminoalkyl chain, wherein formula 1 R is CO, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is an ω-aminoalkoxy chain.
11. The method as defined by Claim 6, comprising reacting the derivative of formula 1 in which R is CO, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl or SO<sub>2</sub>, in sodium borohydride under stirring for 5-12 hrs, to obtain a derivative wherein R is CO or CHOH, R<sub>1</sub> = R<sub>2</sub> = H and R<sub>3</sub> is S-alkyl or SO<sub>2</sub> alkyl.
12. The method as defined by Claim 11, comprising reacting the derivative of formula 1 in which R is CO or CHOH, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl, preferably methyl with hydrogen peroxide in acetic acid under stirring for 8-10 hrs, to obtain a derivative of formula 1 wherein R is CO or CHOH, R<sub>1</sub> = R<sub>2</sub> = H and R<sub>3</sub> is SO<sub>2</sub> alkyl.
13. The method as defined by Claim 11, comprising reacting a derivative of formula 1 in which R is CHOH, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl, with sodium hydride in dry benzene and refluxed for 24-30 hrs, to obtain a derivative of formula 1 wherein R = CHOCOCH<sub>2</sub>NC<sub>5</sub>H<sub>10</sub>, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl.

14. The method as defined by Claim 11, comprising reacting a derivative of formula 1 in which R is CHOH, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl, with acetic anhydride in dry pyridine overnight, wherein, R = CHOCOCH<sub>3</sub>, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl.

15. The method as defined by Claim 11, comprising reacting a derivative of formula 1 in which R is CHOH, R<sub>1</sub> and R<sub>2</sub> are H and R<sub>3</sub> is S-alkyl, with chloroacetyl chloride in tetrahydropyran and pyridine under stirring for ½ hr to 3 days when the pH changed from 8 to 3, wherein R = CHOCOCH<sub>2</sub>Cl, R<sub>1</sub> = H, R<sub>2</sub> = H, R<sub>3</sub> = SMe.

16. A method for the prevention or treatment of disease syndromes in mammals and humans related to estrogen deficiency, osteoporosis, bone loss, bone formation, cardiovascular disorders, neurodegenerative disorders, menopausal disorders, physiological disorders, diabetes disorders, prostatic carcinoma, cancer of breast, cancer of uterus, cancer of the cervix and cancer of the colon, threatened or habitual abortion, obesity, ovarian development or function, post-partum lactation and depression, comprising administering to a subject in need of such prevention/treatment, a thus effective amount of a mercaptophenyl naphthyl methane compound as defined by Claim 1.

17. The method as defined by Claim 16, comprising administering said compound as a pharmaceutical composition optionally alone or as acceptable salts via oral, systemic, local or topical delivery, intravenous, intra-arterial, intramuscular, subcutaneous, intra-peritoneal, intra-dermal, buccal, intranasal, inhalation, vaginal, rectal, transdermal or any other suitable means in any conventional liquid or solid dosage form to achieve, conventional delivery, controlled delivery or targeted delivery, optionally along with pharmaceutical acceptable diluents, inorganic salts, excipients, glidants, lubricants, sweetening agents, wetting agents, absorbents or retardants.

18. The method as defined by Claim 16, comprising administering said compound as gelatin capsules or compressed into tablets or pills or formulated in the form of lozenges, inclusion complexes with cyclodextrin derivatives, injectable depo formulations, aerosols, granules, powders, oral liquids, mucosal adhesive formulations, gel formulations, troches, elixirs, suspensions, syrups, wafers, liposomal delivery systems, implants, suppository, pessary, microemulsions, nanoemulsion, microparticles, nanoparticles, controlled release delivery systems, transdermal delivery systems, targeted delivery systems, conjugates with monoclonal antibodies or with other suitable carrier moieties.

19. The method as defined by Claim 17, said pharmaceutical composition comprising inorganic salts selected from the group consisting of formate, acetate, phenyl acetate, trifluoroacetate, acrylate, ascorbate, benzoate, chlorobenzoates, bromobenzoates, iodobenzoates, nitrobenzoates, hydroxybenzoates, alkylbenzoates, alkyloxybenzoates, alkoxycarbonylbenzoates, naphthalene-2 benzoate, butyrates, phenylbutyrates, hydroxybutyrates, caprate, caprylate, cinnamate, mandelate, mesylate, citrate, tartarate, fumarate, heptanoate, hippurate, lactate, malate, maleate, malonate, nicotinate, isonicotinate, oxalate, phthalate, terephthalate, phosphate, monohydrogen phosphate, dihydrogen phosphate, metaphosphate, pyrophosphate, propiolate, propionate, phenylpropionate, salicylate, sebacte, succinate, suberate, sulfate, bisulfate, pyrosulfate, sulfite, bisulfate, sulfonate, benzene sulfonate, bromobenzene sulfonates, chlorobenzene sulfonates, ethane sulfonates, methane sulfonates, naphthalene sulfonates, toluene sulfonates, and compounds thereof.

20. The method as defined by Claim 17, said pharmaceutical composition comprising a pharmaceutically acceptable diluent selected from the group consisting of lactose, mannitol, sorbitol, microcrystalline cellulose, sucrose, sodium citrate, dicalcium phosphate, or any other ingredient of similar nature alone or in a suitable combination thereof; binder selected from the group

consisting of gum tragacanth, gum acacia, methyl cellulose, gelatin, polyvinyl pyrrolidone, starch or any other ingredient of similar nature alone or in a suitable combination thereof; a disintegrating agent selected from the group consisting of agar-agar, calcium carbonate, sodium carbonate, silicates, alginic acid, corn starch, potato tapioca starch, primogel or any other ingredient of similar nature alone or in a suitable combination thereof; a lubricant selected from the group consisting of magnesium stearate, calcium stearate or steorotes, talc, solid polyethylene glycols, sodium lauryl sulfate or any other ingredient of similar nature alone or in a suitable combination thereof; a glidant selected from the group consisting of colloidal silicon dioxide or any other ingredient of similar nature alone or in a suitable combination thereof; a sweetening agent selected from the group consisting of sucrose, saccharin or any other ingredient of similar nature alone or in a suitable combination thereof; a flavoring agent selected from the group consisting of peppermint, methyl salicylate, orange flavor, vanilla flavor, or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof; a wetting agent selected from the group consisting of cetyl alcohol, glyceryl monostearate or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof; an absorbent selected from the group consisting of kaolin, bentonite clay or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof; a solution retarding agent selected from the group consisting of wax, paraffin or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof.

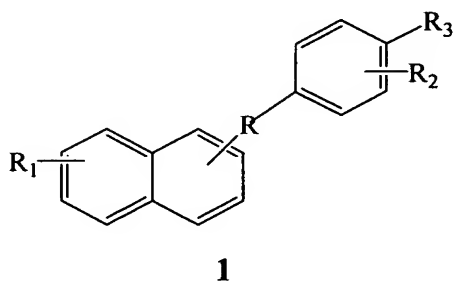
21. The method as defined by Claim 16, comprising administering about 0.1 mg to 1000 mg of said mercaptophenyl naphthyl methane compound.

22. The method as defined by Claim 16, comprising administering about 0.5 mg to 500 mg of said mercaptophenyl naphthyl methane compound.



23. The method as defined by Claim 16, comprising administering 1 mg to 100 mg of said mercaptophenyl naphthyl methane compound.
24. The method as defined by Claim 16, comprising administering said mercaptophenyl naphthyl methane compound weekly, bi-weekly, daily or twice a day or three times a day, or in even more divided doses.
25. The method as defined by Claim 16, comprising eliciting antiosteoporosis (antiresorptive) activity represented by T/C values in the range of about 0.1 to 0.8.
26. The method as defined by Claim 25, comprising eliciting antiosteoporosis (antiresorptive) activity represented by T/C values in the range of about 0.3 to 0.6
27. The method as defined by Claim 16, comprising enhancing bone mineral density (BMD) in the range of about 3-30%.
28. The method as defined by Claim 27, comprising enhancing bone mineral density in the range of about 3.7-25%.
29. The method as defined by Claim 16, comprising lowering total concentration of blood serum cholesterol by about 30%.
30. The method as defined by Claim 29, comprising lowering total concentration of blood serum cholesterol by about 21%.
31. The method as defined by Claim 16, comprising lowering tumor growth by about 30%.
32. The method as defined by Claim 31, comprising lowering tumor growth by about 25%.

33. The method as defined by Claim 16, comprising enhancing uterine weight in the range of about 12-45%.
34. The method as defined by Claim 33, comprising enhancing uterine weight in the range of about 16-41%.
35. The method as defined by Claim 16, comprising enhancing uterine morphometry (i.e., uterus and endometrium) in the range of about 0.05 to 1.5 mm<sup>2</sup>.
36. The method as defined by Claim 35, comprising enhancing uterine morphometry (i.e., uterus and endometrium) in the range of about 0.80 to 1.38 mm<sup>2</sup>.
37. The method as defined by Claim 16, comprising lowering relative binding affinity (RBA) to estrogen receptors by about <0.001.
38. A pharmaceutical composition for treatment and/or prevention of disease syndromes related to estrogen deficiency, osteoporosis, bone loss, bone formation, cardiovascular disorders, neurodegenerative disorders, menopausal disorders, physiological disorders, diabetes disorders, prostatic carcinoma, cancer of breast, cancer of uterus, cancer of the cervix and cancer of the colon, threatened or habitual abortion, obesity, ovarian development or function, post-partum lactation and depression in mammals including humans, comprising a thus effective amount of a mercaptophenyl naphthyl methane compound having structural formula 1



wherein R is selected from the group consisting of CO, CH<sub>2</sub> and CHOR<sub>4</sub>, wherein R<sub>4</sub> is selected from the group consisting of H and COR<sub>5</sub>, wherein R<sub>5</sub> is selected from the group consisting of C<sub>1</sub>-C<sub>6</sub>-alkyl and halo substituted C<sub>1</sub>-C<sub>6</sub>-alkyl, wherein R<sub>1</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>2</sub> is selected from the group consisting of H, OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkyloxy and C<sub>1</sub>-C<sub>6</sub> alkyloxy carbonyl, wherein R<sub>3</sub> is substituted mercapto, SR<sub>6</sub> or SO<sub>2</sub>R<sub>6</sub>, wherein R<sub>6</sub> is selected from the group consisting of H, C<sub>1</sub>-C<sub>6</sub> alkyl, aminoalkyl, pyrrolidinoethyl, piperidinoethyl, dimethylaminoethyl and diethylaminoethyl, and R is CO or CHOH, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, C<sub>3</sub>-C<sub>7</sub> heterocyclic alkyl in which the heterocycle ring is selected from the group consisting of pyrrolidinyl, pyrrolinyl, imidazolyl, imidazolidinyl, pyrazolyl, pyrazolidinyl, pyrazolinyl, piperidyl, piperazinyl, pyrrol, 2H-pyrrol, triazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, morpholino, thiomorpholino, isothiazolyl, isozazolyl, oxazolyl, oxadiazolyl, thiadiazolyl and thiazolyl, optionally substituted with 1 to 3 substituents, independently selected from the group consisting of H, OH, halo, nitro, cyano, SH and SO<sub>2</sub>R<sub>7</sub>, wherein R<sub>7</sub> is selected from the group consisting of H, halo, NHR<sub>3</sub> and N(R<sub>3</sub>)<sub>2</sub>, wherein R<sub>3</sub> is as defined above, and halo is defined as Cl, Br and I, formulated together with a pharmaceutically acceptable carrier, inorganic salt, diluent, glidant, lubricant, excipient, sweetening agent, wetting agent, absorbent and/or retardant therefor.

39. The pharmaceutical composition as defined by Claim 38, comprising:

- (i) (4-Methylthiophenyl)-(naphth-1-yl)-ketone;
- (ii) (4-Methylsulfonylphenyl)-naphth-1-yl-ketone;
- (iii) (4-Ethylsulfonylphenyl)-naphth-1-yl-ketone;
- (iv) (4-Methylthiophenyl)-naphth-1-yl-carbinol;
- (v) (4-Ethylthiophenyl)-naphth-1-yl-carbinol;
- (vi) (4-Methylsulfonylphenyl)-naphth-1-yl-carbinol;
- (vii) (4-Ethylsulfonylphenyl)-naphth-1-yl-carbinol;
- (viii) 1-Piperidino-2-[(4-methylthiophenyl)-(naphth-1-yl)-methoxy] ethane;

- (ix) (4-Methylthiophenyl)-(naphth-1-yl-methanol acetate;
- (x) (4-Methylthiophenyl)-1-naphthyl methylchloroacetate;
- (xi) (4-Methylsulfonylphenyl)-naphth-2-yl-ketone;
- (xii) (4-Methylsulfonylphenyl)-naphth-2-yl-carbinol;
- (xiii) (4-Thiophenyl)-naphth-1-yl-ketone;
- (xiv) (4-Ethylthiophenyl)-naphth-1-yl-ketone;
- (xv) (4-Propylthiophenyl)-naphth-1-yl-ketone;
- (xvi) (4-Isopropylthiophenyl)-naphth-1-yl-ketone;
- (xvii) (4-Dimethylaminoethylthio-phenyl)-naphth-1-yl-ketone;
- (xviii) (4-Diethylaminoethylthio-phenyl)-naphth-1-yl-ketone;
- (xix) (4-Pyrrolidinoethylthio-phenyl)-naphth-1-yl-ketone; or
- (xx) (4-Piperidinoethylthio-phenyl)-naphth-1-yl-ketone.

40. The pharmaceutical composition as defined by Claim 38, wherein formula 1, R is at the C-1 position of the naphthyl ring.

41. The pharmaceutical composition as defined by Claim 38, wherein formula 1, R is at the C-2 position of the naphthyl ring.

42. The pharmaceutical composition as defined by Claim 38, formulated as gelatin capsules or compressed into tablets or pills, or formulated in the form of lozenges, inclusion complexes with cyclodextrin derivatives, injectable depo formulations, aerosols, granules, powders, oral liquids, mucosal adhesive formulations, gel formulations, troches, elixirs, suspensions, syrups, wafers, liposomal delivery systems, implants, suppository, pessary, microemulsions, nanoemulsion, microparticles, nanoparticles, controlled release delivery systems, transdermal delivery systems, targeted delivery systems, conjugates with monoclonal antibodies or with other suitable carrier moieties.

43. The pharmaceutical composition as defined by Claim 38, comprising a pharmaceutically acceptable salt selected from the group consisting of formate, acetate, phenyl acetate, trifluoroacetate, acrylate, ascorbate, benzoate, chlorobenzoates, bromobenzoates, iodobenzoates, nitrobenzoates, hydroxybenzoates, alkylbenzoates, alkyloxybenzoates, alkoxycarbonylbenzoates, naphthalene-2 benzoate, butyrates, phenylbutyrates, hydroxybutyrates, caprate, caprylate, cinnamate, mandelate, mesylate, citrate, tartarate, fumarate, heptanoate, hippurate, lactate, malate, maleate, malonate, nicotinate, isonicotinate, oxalate, phthalate, terephthalate, phosphate, monohydrogen phosphate, dihydrogen phosphate, metaphosphate, pyrophosphate, propiolate, propionate, phenylpropionate, salicylate, sebacate, succinate, suberate, sulfate, bisulfate, pyrosulfate, sulfite, bisulfate, sulfonate, benzene sulfonate, bromobenzene sulfonates, chlorobenzene sulfonates, ethane sulfonates, methane sulfonates, naphthalene sulfonates, toluene sulfonates, and compounds thereof.

44. The pharmaceutical composition as defined by Claim 38, comprising a pharmaceutically acceptable diluent selected from the group consisting of a lactose, mannitol, sorbitol, microcrystalline cellulose, sucrose, sodium citrate, dicalcium phosphate, or any other ingredient of similar nature alone or in a suitable combination thereof; binder selected from the group consisting of gum tragacanth, gum acacia, methyl cellulose, gelatin, polyvinyl pyrrolidone, starch or any other ingredient of similar nature alone or in a suitable combination thereof; excipient selected from the group consisting of agar-agar, calcium carbonate, sodium carbonate, silicates, alginic acid, corn starch, potato tapioca starch, primogel or any other ingredient of similar nature alone or in a suitable combination thereof; lubricant selected from the group consisting of a magnesium stearate, calcium stearate or steorotes, talc, solid polyethylene glycols, sodium lauryl sulfate or any other ingredient of similar nature alone or in a suitable combination thereof; glidant selected from the group consisting of colloidal silicon dioxide or any other ingredient of similar nature alone or in a suitable combination thereof; a

sweetening agent selected from the group consisting of sucrose, saccharin or any other ingredient of similar nature alone or in a suitable combination thereof; a flavoring agent selected from the group consisting of peppermint, methyl salicylate, orange flavor, vanilla flavor, or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof; wetting agent selected from the group consisting of acetyl alcohol, glyceryl monostearate or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof; absorbent selected from the group consisting of kaolin, bentonite clay or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof; retarding agent selected from the group consisting of wax, paraffin or any other pharmaceutically acceptable flavor alone or in a suitable combination thereof.

45. The pharmaceutical composition as defined by Claim 38, comprising from 0.1 mg to 1000 mg of said compound of formula 1.

46. The pharmaceutical composition as defined by Claim 38, comprising from 0.5 mg to 500 mg of said compound of formula 1.

47. The pharmaceutical composition as defined by Claim 38, comprising from 1 mg to 100 mg of said compound of formula 1.